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FULL ESTIMATED COST 0.21 0.21

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FILE COVERS 1907 - 18 Nov 2004 VOL 141 ISS 21
FILE LAST UPDATED: 17 Nov 2004 (20041117/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> s "sertraline isomers"
          1367 "SERTRALINE"
             1 "SERTRALINES"
          1367 "SERTRALINE"
                 ("SERTRALINE" OR "SERTRALINES")
        131345 "ISOMERS"
L1           0 "SERTRALINE ISOMERS"
                 ("SERTRALINE"(W)"ISOMERS")
```

```
=> s sertraline
          1367 SERTRALINE
             1 SERTRALINES
L2        1367 SERTRALINE
                 (SERTRALINE OR SERTRALINES)

=> s isomer
        113112 ISOMER
        131345 ISOMERS
L3      204088 ISOMER
                 (ISOMER OR ISOMERS)

=> s l2 and l3
L4           26 L2 AND L3

=> d l4 1-26 abs ibib
```

L4 ANSWER 1 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN

AB In the present invention, there is disclosed a process for preparing **Sertraline** by reducing amination of a racemic 4-(3,4-dichlorophenyl)-3,4-dihydro-1(2H)naphthalenone. The preparation process is carried out in a single reaction vessel without isolation of intermediates, in the form of pure cis and trans geometric **isomers** being separated from each other. Disclosed is also a conversion process of trans **isomer** to cis-**isomer**, as well as preparation process of cis **isomer** polymorph 1S from any other polymorph.

ACCESSION NUMBER: 2004:625605 CAPLUS
TITLE: Process for preparing **sertraline**
INVENTOR(S): Stohandl, Jiri; Frantisek, Jaroslav; Zapadlo, Zdenek; Stohandlova, Marta
PATENT ASSIGNEE(S): Ratiochem, S. R. O., Czech Rep.
SOURCE: Czech Rep., 10 pp.
CODEN: CZXXED
DOCUMENT TYPE: Patent
LANGUAGE: Czech
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CZ 292770	B6	20031217	CZ 2001-708	20010226
PRIORITY APPLN. INFO.:			CZ 2001-708	20010226

L4 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN

AB N-[4-(3,4-Dichlorophenyl)-3,4-dihydro-1(2H)-naphthalenylidene]methanamine, **sertraline** imine (I), is an intermediate for the synthesis of Zoloft, **sertraline** hydrochloride. A cleaner, simpler, and more efficient alternative to the Schiff base-mediated formation of **sertraline** imine was developed and is presented. The condensation reaction between 4-(3,4-dichlorophenyl)-3,4-dihydro-1(2H)-naphthalone, **sertraline** tetralone and monomethylamine was carried out in ethanol, without the need for classical dehydrating agent, such as TiCl4, or more novel approaches, such as mol. sieves, both of which produce hazardous byproducts and solid wastes. The low solubility of the imine I in this type of solvent is exploited, such that the reaction equilibrium favorably enhances the imine formation. Furthermore, an improved and highly selective catalytic reduction of I with Pd/CaCO3 catalyst in ethanol as the reaction solvent, followed by the resolution of the racemic cis **isomer** with D-(-)-mandelic acid results in a more efficient telescoped com. process to (1S-cis)-4-(3,4-dichlorophenol)-1,2,3,4-tetrahydro-N-methyl-1-naphthalen-amine mandelate, **sertraline** mandelate. This new process was implemented com. and eliminates the use of hazardous material such as TiCl4, significantly reduces undesirable byproducts, reduces the number of intermediate isolations, and improves the overall process yield and productivity on industrial scale.

ACCESSION NUMBER: 2004:340669 CAPLUS
DOCUMENT NUMBER: 141:56052
TITLE: A New and Simplified Process for Preparing N-[4-(3,4-Dichlorophenyl)-3,4-dihydro-1(2H)-naphthalenylidene]methanamine and a Telescoped Process for the Synthesis of (1S-cis)-4-(3,4-Dichlorophenol)-1,2,3,4-tetrahydro-N-methyl-1-naphthalenamine Mandelate: Key Intermediates in the Synthesis of **Sertraline** Hydrochloride
AUTHOR(S): Taber, Geraldine P.; Pfisterer, David M.; Colberg, Juan C.
CORPORATE SOURCE: Pfizer Global Research and Development, Groton, CT, 06340, USA
SOURCE: Organic Process Research & Development (2004), 8(3), 385-388
CODEN: OPRDFK; ISSN: 1083-6160
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:56052
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN

AB The invention provides methods for treating neurodegenerative diseases with neuroprotective agents which inhibit nitric oxide synthase enzymes and in particular nitric oxide synthase III and can be used to treat Alzheimer's disease. Compds. of the invention include e.g. polyglutamate polymers, and arabinogalactan compds.

ACCESSION NUMBER: 2004:269847 CAPLUS
DOCUMENT NUMBER: 140:297534
TITLE: Nitric oxide synthase inhibitor neuroprotective agents
INVENTOR(S): Yalpani, Manssur
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 27 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004063612	A1	20040401	US 2003-672257	20030926
WO 2004028548	A2	20040408	WO 2003-US30445	20030926
WO 2004028548	A3	20040826		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2002-414694P	P 20020926

L4 ANSWER 4 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN

AB Treatment of central nervous system disorders with (1R,4S)-trans- (I) and (1S,4R)-trans-4-(3,4-dichlorophenyl)-1,2,3,4-tetrahydro-N-methyl-1-naphthalenamine (II) is disclosed. I and II were prepared by treating 4-(3,4-dichlorophenyl)tetralinone (III) with (R)-Me3CS(O)NH2 to give the imines which were separated, hydrolyzed to (R)-III and (S)-III, treated with HCONH2 to give the formamides, which were separated by flash chromatog. and reduced with BH3 to give I and II. I and II had IC50 for 5-HT uptake of 0.0075 and 0.012 μM, resp.

ACCESSION NUMBER: 2004:252329 CAPLUS
DOCUMENT NUMBER: 140:270634
TITLE: Treatment of CNS disorders with trans-4-(3,4-dichlorophenyl)-1,2,3,4-tetrahydro-N-methyl-1-naphthalenamine
INVENTOR(S): Jerussi, Thomas P.; Fang, Qun Kevin; Currie, Mark
PATENT ASSIGNEE(S): Sepracor, Inc., USA
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004024130	A2	20040325	WO 2003-US29112	20030916
WO 2004024130	A3	20040715		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004087661	A1	20040506	US 2003-662997	20030916
PRIORITY APPLN. INFO.:			US 2002-411303P	P 20020916

OTHER SOURCE(S): CASREACT 140:270634; MARPAT 140:270634

L4 ANSWER 5 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN

AB The present invention provides compns. comprising a conjugate of a hapten with a carrier in an ordered and repetitive array, and methods of making such compns. The conjugates and compns. of the invention may comprise a variety of haptens, including hormones, toxins and drugs, especially drugs of addiction such as nicotine. Compns. and conjugates of the invention are useful for inducing immune responses against haptens, which can use useful in a variety of therapeutic, prophylactic and diagnostic regimens. In certain embodiments, immune responses generated using the conjugates, compns. and methods of the present invention are useful to prevent or treat addiction to drugs of abuse and the resultant diseases associated with drug addiction.

ACCESSION NUMBER: 2004:80526 CAPLUS
DOCUMENT NUMBER: 140:144688
TITLE: Hapten-carrier conjugates comprising hormone, toxin, or drug for diagnosis and therapy
INVENTOR(S): Bachmann, Martin F.; Maurer, Patrik
PATENT ASSIGNEE(S): Cytos Biotechnology Ag, Switz.
SOURCE: PCT Int. Appl., 144 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004009116	A2	20040129	WO 2003-EP7850	20030718
WO 2004009116	A3	20040318		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004059094	A1	20040325	US 2003-622064	20030718
PRIORITY APPLN. INFO.:			US 2002-396575P	P 20020718

L4 ANSWER 6 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN

AB A method of treating, preventing, or inhibiting ALS, in a subject in need of such treatment, inhibition or prevention. The method comprises administering to a subject one or more cyclooxygenase-2 selective inhibitor(s) or **isomer**(s) or pharmaceutically acceptable salt(s), ester(s), or prodrug(s) thereof, in combination with one or more second drugs, wherein the amount of the cyclooxygenase-2 selective inhibitor(s) or **isomer**(s) or pharmaceutically acceptable salt(s), ester(s), or prodrug(s) thereof in combination with the amount of second drug(s) constitutes an ALS treatment, inhibition or prevention effective amount

ACCESSION NUMBER: 2003:971836 CAPLUS
DOCUMENT NUMBER: 140:23256
TITLE: Combination therapy for treatment of amyotrophic lateral sclerosis (ALS) with cyclooxygenase-2 (COX 2) inhibitor(s) and a second drug
INVENTOR(S): Isakson, Peter C.
PATENT ASSIGNEE(S): Pharmacia Corporation, USA
SOURCE: PCT Int. Appl., 358 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003101380	A2	20031211	WO 2003-US14547	20030528
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004063751	A1	20040401	US 2003-444071	20030523
PRIORITY APPLN. INFO.:			US 2002-384104P	P 20020531
			US 2003-444071	A 20030523

OTHER SOURCE(S): MARPAT 140:23256

L4 ANSWER 7 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN

AB A process is described for the preparation of **sertraline** by the reductive amination of racemic 4-(3,4-dichlorophenyl)-3,4-dihydro-1-(2H)-naphthalenone, carried out as a one-reaction-vessel process without isolation of intermediates, in the form of pure, separated cis and trans **isomers**, the isomerization of the trans **isomer** into the cis **isomer** and preparation of the FII polymorph of the 1S-cis **isomer** from any other polymorph is also described.

ACCESSION NUMBER: 2003:950972 CAPLUS
DOCUMENT NUMBER: 140:4867
TITLE: Process for the manufacture of **sertraline** and its crystal polymorph
INVENTOR(S): Stohandl, Jiri; Frantisek, Jaroslav; Zapadlo, Zdenek; Stohandlova, Marta
PATENT ASSIGNEE(S): Czech Rep.
SOURCE: PCT Int. Appl., 20 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003099761	A1	20031204	WO 2002-CZ28	20020510
W: AU, BG, BY, CA, CH, CN, DE, DK, EE, ES, FI, GB, HR, HU, IL, IN, JP, NO, PL, PT, RO, RU, SE, SI, SK, TR, UA, US, YU, ZA				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
PRIORITY APPLN. INFO.:			WO 2002-CZ28	20020510

OTHER SOURCE(S): CASREACT 140:4867
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN

AB The invention discloses a method for treating, preventing, or inhibiting Parkinson's disease (PD) in a subject in need of such treatment, inhibition, or prevention. The method comprises treating the subject with one or more COX2 selective inhibitor(s) or **isomer**(s) or pharmaceutically acceptable salt(s), ester(s), or prodrug(s) thereof, in combination with one or more second drugs, wherein the amount of the COX2 selective inhibitor(s) or **isomer**(s) or pharmaceutically acceptable salt(s), ester(s), or prodrug(s) thereof in combination with the amount of second drug(s) constitutes a PD treatment-, inhibition- or prevention-effective amount

ACCESSION NUMBER: 2003:855794 CAPLUS
DOCUMENT NUMBER: 139:345938
TITLE: Combination therapy including cyclooxygenase 2 (COX2) inhibitor(s) for the treatment of Parkinson's disease
INVENTOR(S): Stephenson, Diane T.; Isakson, Peter C.; Maziasz, Timothy J.
PATENT ASSIGNEE(S): Pharmacia Corporation, USA
SOURCE: PCT Int. Appl., 266 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003088958	A2	20031030	WO 2003-US11269	20030414
WO 2003088958	A3	20040819		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004034083	A1	20040219	US 2003-413348	20030414
PRIORITY APPLN. INFO.:			US 2002-373311P	P 20020418

OTHER SOURCE(S): MARPAT 139:345938

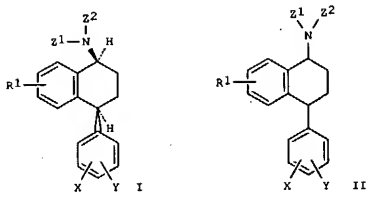
L4 ANSWER 9 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN

AB Background - Single **isomers** of the selective serotonin reuptake inhibitors (SSRIS) citalopram (escitalopram, S-citalopram) and fluoxetine (R-fluoxetine) are currently under development for the treatment of depression and other psychiatric disorders. Previous studies conducted in laboratory animals have revealed that the biol. effects on serotonin reuptake for citalopram reside in the S enantiomer. In contrast, both enantiomers of fluoxetine contribute to its biol. activity. Methods - In the present study, the potency and selectivity of escitalopram, R-fluoxetine, and all of the other currently available selective serotonin reuptake inhibitors were compared for binding affinity at the human serotonin, norepinephrine, and dopamine transporters and several select neurotransmitter receptors using radioligand binding assays. Results - Both escitalopram and R-fluoxetine were potent inhibitors of the serotonin transporter (Ki = 1.1 and 1.4 nmol/L, resp.). Escitalopram was the most serotonin transporter-selective compound tested and was .apprx.30 fold more potent than R-citalopram. Conclusions - As noted previously, paroxetine and **sertraline** possess moderate affinity (<50 nmol/L) for the human norepinephrine transporter and dopamine transporter, resp. R-fluoxetine, unlike the other selective serotonin reuptake inhibitors, possesses moderate affinity (Ki = 64 nmol/L) for the serotonin 2C receptor. Potential clin. correlates of these unique attributes of escitalopram and R-fluoxetine are discussed.

ACCESSION NUMBER: 2002:798388 CAPLUS
DOCUMENT NUMBER: 138:378586
TITLE: Second generation SSRIS: human monoamine transporter binding profile of escitalopram and R-fluoxetine
AUTHOR(S): Owens, J.-M.; Knight, D. L.; Nemeroff, C. B.
CORPORATE SOURCE: Dept of Psychiatry and Behavorial Sciences, University school of Medicine, Atlanta, GA, 30322, USA
SOURCE: Encephale (2002), 28(4, Cahier 1), 350-355
CODEN: ENCEAN; ISSN: 0013-7006
PUBLISHER: ETICOM
DOCUMENT TYPE: Journal
LANGUAGE: French
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN

GI



AB A process is disclosed for the preparation of I [R1 = H, F, Cl, Br, CF3, alkoxy; X, Y = H, F, Cl, Br, CF3, CN, alkoxy at least one of X or Y being other than hydrogen; Z1-2 = H, alkyl] from II. The process comprises: a. resolving cis and trans racemic-II in a first resolution zone by using simulated moving bed (SMB) chromatog. using a non-chiral or chiral adsorbent to afford a first **isomer** of racemic-II in at least 95 % enantiomeric purity and a second **isomer** of racemic II, b. resolving the first **isomer** of racemic-II in a second resolution zone by SMB chromatog. using a chiral adsorbent to afford a first enantiomer pair of I and a second enantiomer pair of I, c. resolving the first enantiomer pair of I in a third resolution zone by simulated moving bed chromatog. using a chiral adsorbent to afford a first enantiomer of I and a second enantiomer of I and d. racemizing the second enantiomer pair of I and recycling to the first or second resolution zone. The process is specifically directed at the preparation of **sertraline** and analogs thereof. SMB permits resolution without the need for expensive optically selective precipitating agents.

ACCESSION NUMBER: 2002:730595 CAPLUS
DOCUMENT NUMBER: 137:249501
TITLE: Process for preparation of homochiral **sertraline** and **sertraline** analogs
INVENTOR(S): Zinnen, Herman A.; Gattuso, Mark J.
PATENT ASSIGNEE(S): UOP LLC, USA
SOURCE: U.S., 7 pp., Cont.-in-part of U.S. 6,162,949.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

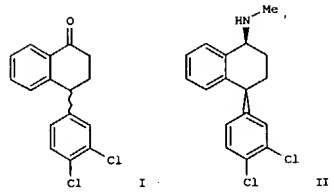
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6455736	B1	20020924	US 2000-705536	20001103
US 5889186	A	19990330	US 1994-357910	19941216
US 6162949	A	20001219	US 1999-255300	19990222
PRIORITY APPLN. INFO.:			US 1994-357910	A2 19941216

L4 ANSWER 10 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
US 1999-255300 A2 19990222

OTHER SOURCE(S): MARPAT 137:249501
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN

GI



AB Tetralone derivative I, an intermediate for the antidepressant **sertraline** (II), is resolved to give the desired (S)-I **isomer** by enantioselective chromatog. on a stationary phase containing macrocyclic heterotopic coreceptors, using a carbon dioxide-containing eluent in a supercrit., critical, or subcrit. state. In particular, the stationary phase comprises modified cyclodextrins, oligosaccharides, or polysaccharides, crosslinked with the aid of bifunctional compds. to create chiral 3-dimensional cavities or macrocyclic cages. For instance, β-cyclodextrin in pyridine was reluxed to remove H2O, then treated with 4-octenyloxyphenyl isocyanate, treated with 3,4-dimethylphenyl isocyanate, worked up, mixed with a polyamide support, and treated with trithiocyanuric acid and benzoyl peroxide, to give a stationary phase designated CHM-LC73. (±)-I was eluted from this phase using CO2 containing 20% MTBE, at 150 bar and 40°, giving (S)-I as the second peak, with a selectivity factor α = 1.40, and a resolution Rs = 6.5.

ACCESSION NUMBER: 2002:381736 CAPLUS
DOCUMENT NUMBER: 136:355076
TITLE: Method for resolving a tetralone intermediate in the production of **sertraline** by chiral chromatography on modified macrocyclic saccharide stationary phases using a carbon dioxide-based eluent
PATENT ASSIGNEE(S): Chiralsep S.A., Fr.
SOURCE: Fr. Demande, 34 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2810978	A1	20020104	FR 2000-8444	20000629
FR 2810978	B1	20040528		
PRIORITY APPLN. INFO.:			FR 2000-8444	20000629

L4 ANSWER 11 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L4 ANSWER 12 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN

AB The present invention relates to (+)-1-(3,4-dichlorophenyl)-3-azabicyclo[3.1.0]hexane and pharmaceutically acceptable salts thereof, compns. comprising (+)-1-(3,4-dichlorophenyl)-3-azabicyclo[3.1.0]hexane or a pharmaceutically acceptable salt thereof, and methods for treating or preventing depression in a patient comprising administering (+)-1-(3,4-dichlorophenyl)-3-azabicyclo[3.1.0]hexane or a pharmaceutically acceptable salt thereof. The (+)-1-(3,4-dichlorophenyl)-3-azabicyclo[3.1.0]hexane or pharmaceutically acceptable salt thereof is preferably substantially free of its corresponding (-)-enantiomer. The + **isomer** is obtained by HPLC resolution on a CHIRALPAK AD column. The + **isomer** has greater affinity for both norepinephrine and serotonin uptake sites in rat forebrain membranes than the ± compound The + **isomer** is administered along with a known antidepressant, anxiolytic, antipsychotic or antiobesity agent in treatment of various depression conditions including depression associated with anxiety, seizures, menopause, alcoholism, etc.

ACCESSION NUMBER: 2002:290820 CAPLUS
DOCUMENT NUMBER: 136:304102
TITLE: (+)-1-(3,4-dichlorophenyl)-3-azabicyclo[3.1.0]hexane, compositions thereof, and uses as an anti-depressant agent
INVENTOR(S): Lippa, Arnold Stan; Epstein, Joseph William
PATENT ASSIGNEE(S): Dov Pharmaceutical, Inc., USA
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6372919	B1	20020416	US 2001-758883	20010111
WO 2002066427	A2	20020829	WO 2002-US845	20020111
WO 2002066427	A3	20030313		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1349835	A2	20031008	EP 2002-720783	20020111
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002006434	A	20031230	BR 2002-6434	20020111
NO 2003003165	A	20030904	NO 2003-3165	20030710
US 2004132797	A1	20040708	US 2004-466457	20040210

PRIORITY APPLN. INFO.: US 2001-758883 A 20010111
WO 2002-US845 W 20020111

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS

L4 ANSWER 12 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN

AB It has been proposed that the antiobesity agent phentermine may act in part via inhibition of monoamine oxidase (MAO). The ability of phentermine to inhibit both MAOA and MAOB in vitro was examined, along with that of the fenfluramine **isomers**, a range of selective serotonin reuptake inhibitors and sibutramine and its active metabolites. In rat brain, harmaline and lazabemide caused potent and selective inhibition of MAOA and MAOB, their resp. target enzymes, with IC50 values of 2.3 and 18 nM. In contrast, all the other drugs examined were only weak inhibitors of MAOA and MAOB, with IC50 values for each enzyme in the moderate-to-high micromolar range. For MAOA, the IC50 for phentermine was estimated to be 143 μM, that for S(+)-fenfluramine, 265 μM, and that for **sertraline**, 31 μM. For MAOB, typical IC50 values were as follows: phentermine 285 μM, S(+)-fenfluramine 800 μM and paroxetine 16 μM. Sibutramine was unable to inhibit either enzyme, even at its limit of solubility It is therefore suggested that MAO inhibition is unlikely to play a role in the pharmacodynamic properties of any of the drugs tested, including phentermine. Instead, the lack of potency of these drugs as MAO inhibitors is contrasted with their powerful ability either to inhibit the uptake of one or more monoamines (fluoxetine, paroxetine, **sertraline**, sibutramine's active metabolites) or to evoke the release of one or more monoamines (S(+)-fenfluramine, S(+)-norfenfluramine, phentermine). These differences in mode of action may be linked to the adverse cardiovascular events experienced with some of the releasing agents.

ACCESSION NUMBER: 2001:824718 CAPLUS
DOCUMENT NUMBER: 137:57355
TITLE: Monoamine oxidase inhibition is unlikely to be relevant to the risks associated with phentermine and fenfluramine: a comparison with their abilities to evoke monoamine release
AUTHOR(S): Kilpatrick, I. C.; Traut, M.; Heal, D. J.
CORPORATE SOURCE: Knoll Limited Research and Development, Nottingham, UK
SOURCE: International Journal of Obesity (2001), 25(10), 1454-1458
CODEN: IJOBDP; ISSN: 0307-0565
PUBLISHER: Nature Publishing Group
DOCUMENT TYPE: Journal
LANGUAGE: English
REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN

AB Background: Single **isomers** of the selective serotonin reuptake inhibitors Citalopram (Escitalopram, S-Citalopram) and fluoxetine (R-fluoxetine) are currently under development for the treatment of depression and other psychiatric disorders. Previous studies conducted in laboratory animals have revealed that the biol. effects on serotonin reuptake for Citalopram reside in the S enantiomer. In contrast, both enantiomers of fluoxetine contribute to its biol. activity. Methods: In the present study, the potency and selectivity of Escitalopram, R-fluoxetine, and all of the other currently available selective serotonin reuptake inhibitors were compared for binding affinity at the human serotonin, norepinephrine, and dopamine transporters and several select neurotransmitter receptors using radioligand binding assays. Results: Both Escitalopram and R-fluoxetine were potent inhibitors of the serotonin transporter (Ki = 1.1 and 1.4 nmol/L, resp.). Escitalopram was the most serotonin transporter-selective compound tested and was .apprx.30-fold more potent than R-Citalopram. Conclusions: As noted previously, Paroxetine and **Sertraline** possess moderate affinity (<50 nmol/L) for the human norepinephrine transporter and dopamine transporter, resp. R-Fluoxetine, unlike the other selective serotonin reuptake inhibitors, possesses moderate affinity (Ki = 64 nmol/L) for the serotonin 2C receptor. Potential clin. correlates of these unique attributes of Escitalopram and R-fluoxetine are discussed.

ACCESSION NUMBER: 2001:653549 CAPLUS
DOCUMENT NUMBER: 136:379902
TITLE: Second-generation SSRIs: human monoamine transporter binding profile of Escitalopram and R-fluoxetine
AUTHOR(S): Owens, M. J.; Knight, D. L.; Nemeroff, C. B.
CORPORATE SOURCE: Department of Psychiatry and Behavioral Sciences, Laboratory of Neuropsychopharmacology, Emory University School of Medicine, Atlanta, GA, USA
SOURCE: Biological Psychiatry (2001), 50(5), 345-350
CODEN: BIPCBF; ISSN: 0006-3223
PUBLISHER: Elsevier Science Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN

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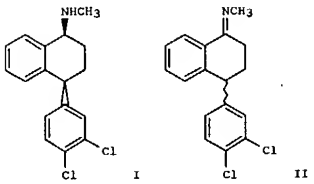

AB A process for converting the cis-(1R,4R), trans-(1S,4R), and trans-(1R,4S) stereoisomers of **sertraline** (I) into I via oxidation of the **sertraline** stereoisomers into an imine II; optional base-catalyzed racemization of II; reduction of II into I and at least one stereoisomer of I; recovering I from the reaction mixture, e.g. by fractional crystallization followed by resolution of I from the cis-(1R,4R) stereoisomer, if necessary; and recycling the remaining **isomers** through the same steps was described.

ACCESSION NUMBER: 2001:507654 CAPLUS
DOCUMENT NUMBER: 135:92450
TITLE: A process for converting stereoisomers of **sertraline** into **sertraline**
INVENTOR(S): Jadav, Kanaksinh Jesingbhai; Chitturi, Trinadha Rao; Thennati, Rajamannar
PATENT ASSIGNEE(S): Sun Pharmaceutical Industries Ltd., India
SOURCE: PCT Int. Appl., 20 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001049638	A2	20010712	WO 2001-IN1	20010101
WO 2001049638	A3	20011206		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

L4 ANSWER 15 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

IN 187170	A	20020223	IN 2000-MU12		20000104
US 6506940	B1	20030114	US 2000-709812		20001110
AU 2001035979	A5	20010716	AU 2001-35979		20010101
CH 692620	A	20020830	CH 2001-1496		20010101
BE 1013214	A6	20011002	BE 2001-440		20010703
PRIORITY APPLN. INFO.:			IN 2000-MU12	A	20000104
			WO 2001-IN1	W	20010101
			BE 2001-440	A	20010703

L4 ANSWER 16 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN

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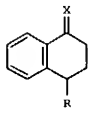

I

AB The title process comprises preparation of title compound I (R = C6H3Cl2-3,4, X = NMe)(II) from a mixture comprised of I (X = O)(III; R = C6H3Cl2-3,4) and III (R = C6H3Cl2-2,3) in which the mixture is treated with MeNH2 in the presence of MeSO3H followed by, e.g., cooling of the reaction mixture which produces an 88% yield of imine comprising 96.9% II.

ACCESSION NUMBER: 2001:380547 CAPLUS
DOCUMENT NUMBER: 135:5456
TITLE: Preparation of dichlorophenyltetraloneimine **isomer**
INVENTOR(S): Thommen, Marc; Hafner, Andreas; Kolly, Roman; Kirner, Hans-Joerg; Brunner, Frederic
PATENT ASSIGNEE(S): Ciba Specialty Chemicals Holding Inc., Switz.
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001036377	A1	20010525	WO 2000-EP10970	20001107

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2388814	AA	20010525	CA 2000-2388814	20001107
AU 2001021555	A5	20010530	AU 2001-21555	20001107
EP 1230212	A1	20020814	EP 2000-984975	20001107

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 2003514794	T2	20030422	JP 2001-538869	20001107
ZA 2002004627	A	20030205	ZA 2002-4627	20020610
US 6693218	B1	20040217	US 2002-130199	20020920

L4 ANSWER 16 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
PRIORITY APPLN. INFO.: EP 1999-811055 A 19991116

WO 2000-EP10970 W 20001107

OTHER SOURCE(S): CASREACT 135:5456; MARPAT 135:5456
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 17 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
AB Preferential delivery via electrotransport of a preferred isomeric form of a pharmaceutically active chiral compound from a mixture of the isomeric forms of said compound is provided. A method of decreasing the delivery via electrotransport of a less preferred **isomer** of a drug is also provided. Following electrotransport administration of ketorolac, the mean amount of R **isomer** absorbed was lower than that of the S **isomer**.

ACCESSION NUMBER: 2000:754414 CAPLUS
DOCUMENT NUMBER: 133:325631
TITLE: Stereospecific delivery of a drug using electrotransport
INVENTOR(S): Gupta, Suneel K.; Sathyan, Gayatri; Padmanabhan, Rama
PATENT ASSIGNEE(S): ALZA Corporation, USA
SOURCE: U.S., 22 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 6136327	A	20001024	US 1997-982245		19971201
JP 2001524364	T2	20011204	JP 2000-522969		19981130
PRIORITY APPLN. INFO.:			US 1997-982245	A	19971201
			WO 1998-US25387	W	19981130

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 18 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
AB A method of treating depression to elicit prompt relief from depression is disclosed. The method comprises administering orally or non-orally to a patient a therapeutically effective amount of l-threo-methylphenidate or a pharmaceutically acceptable salt thereof.

ACCESSION NUMBER: 2000:699188 CAPLUS
DOCUMENT NUMBER: 133:247300
TITLE: Method of treating depression using l-threo-methylphenidate
INVENTOR(S): Midha, Kamal K.; Teicher, Martin; Kumar, Vijai
PATENT ASSIGNEE(S): Pharmaquest Limited, Bermuda
SOURCE: U.S., 10 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 6127385	A	20001003	US 1999-262385		19990304
CA 2311708	AA	20011215	CA 2000-2311708		20000615
EP 1163907	A1	20011219	EP 2000-112835		20000617
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
JP 2002020290	A2	20020123	JP 2000-192808		20000627
US 6395752	B1	20020528	US 2000-636673		20000811
PRIORITY APPLN. INFO.:			US 1999-262385	A	19990304

REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
AB In this work development, optimization and validation of a cyclodextrin-modified micellar electrokinetic chromatog. (CD-modified MEKC) method is proposed to resolve separation of the **sertraline** hydrochloride and synthesis-related substances. **Sertraline** hydrochloride, the cis-(1S,4S) enantiomer form, is used as an antidepressant therapeutic agent. A buffer concentration composed of 20 mM sodium borate, pH 9.0 with 50 mM sodium cholate, 15 mM sulfated β-cyclodextrin and 5 mM hydroxypropyl-β-cyclodextrin was found to be the most suitable background electrolyte. Quantitation of the impurities at levels of 0.1% in different samples of the bulk drug was determined A comparison of the results with those obtained by HPLC methodol. was also accomplished. The method proved appropriate for testing the purity of **sertraline** hydrochloride in bulk drug.

ACCESSION NUMBER: 2000:201560 CAPLUS
DOCUMENT NUMBER: 132:298923
TITLE: Analysis of cis-trans **isomers** and enantiomers of **sertraline** by cyclodextrin-modified micellar electrokinetic chromatography
AUTHOR(S): Lucangioli, S. E.; Hermida, L. G.; Tripodi, V. P.; Rodriguez, V. G.; Lopez, E. E.; Rouge, P. D.; Carducci, C. N.
CORPORATE SOURCE: Faculty of Pharmacy and Biochemistry, Department of Analytical Chemistry and Physicochemistry, University of Buenos Aires, Junin, 956 (1113), Argent.
SOURCE: Journal of Chromatography, A (2000), 871(1+2), 207-215
CODEN: JCRAEY; ISSN: 0021-9673
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN

AB The invention relates to methods and compns. for treating, managing, and/or preventing certain pain and pain disorders, post-traumatic stress disorder, premenstrual dysphoric disorder and premenstrual syndrome, certain sleep and eating disorders, and symptoms by using moclobemide, a moclobemide metabolite, a moclobemide derivative or a moclobemide composition

Gelatin capsules were prepared from moclobemide 50.0, lactose 124.5, corn starch 25.0, Mg stearate and 0.5 mg/capsule.

ACCESSION NUMBER: 2000:98295 CAPLUS
DOCUMENT NUMBER: 132:141977
TITLE: Compositions containing moclobemide for treatment of pain
INVENTOR(S): Klein, Donald F.; Lederman, Seth
PATENT ASSIGNEE(S): Janus Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000006138	A2	20000210	WO 1999-US17274	19990730
WO 2000006138	A3	20001116		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2338327	AA	20000210	CA 1999-2338327	19990730
CA 2338330	AA	20000210	CA 1999-2338330	19990730
WO 2000006140	A2	20000210	WO 1999-US17417	19990730
WO 2000006140	A3	20000518		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9952438	A1	20000221	AU 1999-52438	19990730
AU 9953305	A1	20000221	AU 1999-53305	19990730
JP 2002521431	T2	20020716	JP 2000-561993	19990730
JP 2002521433	T2	20020716	JP 2000-561995	19990730
US 2002032197	A1	20020314	US 2001-772679	20010130

PRIORITY APPLN. INFO.:

US 1998-94934P	P	19980731
US 1998-94984P	P	19980731
US 1998-94985P	P	19980731
US 1998-94987P	P	19980731

L4 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

US 1998-94989P	P	19980731
WO 1999-US17274	W	19990730
WO 1999-US17417	W	19990730

L4 ANSWER 21 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN

AB Enantiomerically pure or optically enriched **sertraline**-tetralone was obtained from a mixture containing two enantiomers using continuous chromatog. on a liquid mobile phase comprising at least one polar solvent and a solid chiral stationary phase comprising a derivatized polysaccharide that is selected from the amylosic, cellulosic, chitosan, xylan, curdlan, dextran, and inulan class of polysaccharides. Thus, racemic **sertraline** tetralone was chromatographed on a simulated moving bed of amylose 3-chloro-4-methylphenylcarbamate with MeCN as the mobile phase. The undesired (-)-**isomer** was eluted first and was racemized by treatment with NaOH in MeCN.

ACCESSION NUMBER: 1999:723010 CAPLUS
DOCUMENT NUMBER: 131:336824
TITLE: Process for the production of enantiomerically pure or optically enriched **sertraline**-tetralone using continuous chromatography
INVENTOR(S): Dapremont, Oliver; Geiser, Fiona; Zhang, Tong; Guhan, Subramanian S.; Guinn, Robert M.; Quallich, George J.
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9957089	A1	19991111	WO 1999-US9037	19990427
W: BR, CA, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2342201	AA	19991111	CA 1999-2342201	19990427
EP 1073618	A1	20010207	EP 1999-920040	19990427
EP 1073618	B1	20031203		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
AT 255555	E	20031215	AT 1999-920040	19990427
PT 1073618	T	20040331	PT 1999-920040	19990427
ES 2211080	T3	20040701	ES 1999-920040	19990427
US 6444854	B1	20020903	US 2001-700435	20010501

PRIORITY APPLN. INFO.:

US 1998-83851P	P	19980501
WO 1999-US9037	W	19990427

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 22 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN

AB Racemic **sertraline**, cis-N-methyl-4-(3,4-dichlorophenyl)-1,2,3,4-tetrahydro-1-naphthylamine, is prepared in high yield and selectivity by the reaction of 4-(3,4-dichlorophenyl)tetralone with N-methylformamide in the presence of formic acid, followed by treatment of the reaction mixture with a base (e.g., KOH), and a selective crystallization of the cis **isomer** is obtained by the addition of an acid (e.g., aqueous HCl).

ACCESSION NUMBER: 1999:640545 CAPLUS
DOCUMENT NUMBER: 131:243086
TITLE: Process for the preparation of racemic **sertraline**
INVENTOR(S): Bigot, Patrick
PATENT ASSIGNEE(S): Catalys, Fr.
SOURCE: Eur. Pat. Appl., 9 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 947499	A2	19991006	EP 1999-420077	19990326
EP 947499	A3	20000223		
EP 947499	B1	20020220		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
FR 2777000	A1	19991008	FR 1998-4270	19980401
FR 2777000	B1	20020927		
AT 213492	E	20020315	AT 1999-420077	19990326
PT 947499	T	20020731	PT 1999-420077	19990326
ES 2172296	T3	20020916	ES 1999-420077	19990326
US 6262308	B1	20010717	US 1999-280673	19990329

PRIORITY APPLN. INFO.:

FR 1998-4270	A	19980401

OTHER SOURCE(S): CASREACT 131:243086

L4 ANSWER 23 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN

AB The neuropathic pain alleviating effectiveness of an antidepressant is significantly potentiated by administering the antidepressant prior to, with or following the administration of a nontoxic NMDA receptor antagonist. A pharmaceutical capsule contained chlorimipramine hydrochloride 25, and dextromethorphan hydrobromide 30 mg.

ACCESSION NUMBER: 1998:744954 CAPLUS
DOCUMENT NUMBER: 130:17239
TITLE: Pharmaceutical composition and method combining an antidepressant with an NMDA receptor antagonist, for treating neuropathic pain
INVENTOR(S): Caruso, Frank S.
PATENT ASSIGNEE(S): Algos Pharmaceutical Corp., USA
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9850044	A1	19981112	WO 1998-US9253	19980506
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2289190	AA	19981112	CA 1998-2289190	19980506
AU 9874728	A1	19981127	AU 1998-74728	19980506
EP 980247	A1	20000223	EP 1998-922115	19980506
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001527554	T2	20011225	JP 1998-548451	19980506
US 2002035105	A1	20020321	US 2001-966975	20010928
PRIORITY APPLN. INFO.:			US 1997-45900P	P 19970507
			WO 1998-US9253	W 19980506
			US 1999-434907	A3 19991105

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 24 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN

AB A method for treating a depressive disorder comprises administering to a patient in need thereof a therapeutically effective amount of a combination (i) hydroxyzine, an individual optical **isomer** thereof, or a pharmaceutically acceptable salt thereof and (ii) at least one therapeutic substance which is a serotonin uptake inhibitor, an individual optical **isomer** thereof or a pharmaceutically acceptable salt thereof, the therapeutically effective amount being such that the depressive disorder is treated while avoiding the nervousness, anxiety, agitation and sleep disorders associated with treatments using serotonin uptake inhibitors, and avoiding at the same time the loss of therapeutic effect observed when treatment with the classic association of serotonin uptake inhibitors and benzodiazepines is used. A tablet contained fluoxetine·HCl 10, hydroxyzine·2HCl 25, lactose 200, and Mg stearate 1 mg. Antidepressive effects of the combination were demonstrated with rats.

ACCESSION NUMBER: 1998:402481 CAPLUS
DOCUMENT NUMBER: 129:19676
TITLE: Pharmaceutical compositions for the treatment of depressive disorders
INVENTOR(S): Medjad, Nadia; Billardon, Martine
PATENT ASSIGNEE(S): UCB, S.A., Belg.
SOURCE: Pat. Specif. (Petty) (Aust.), 15 pp.
CODEN: AUXXDN
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
AU 686084	B3	19980129	AU 1997-27539	19970626
US 5747494	A	19980505	US 1996-672920	19960628
NZ 328198	A	20000428	NZ 1997-328198	19970627
PRIORITY APPLN. INFO.:			US 1996-672920	A 19960628

L4 ANSWER 25 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN

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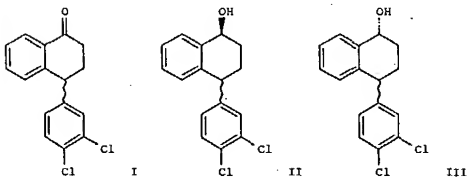

I II III

AB A process for preparing the chiral ketone (4S)-(3,4-dichlorophenyl)-3,4-dihydro-1(2H)-naphthalenone [(S)-I; dichlorophenyl group β], an intermediate for the antidepressant **sertraline**, is disclosed. Racemic ketone (±)-I is is asym. reduced with chiral reducing agents, especially oxazaborolidines, to produce a mixture of cis and trans alcs., i.e., either II or III. These novel, diastereomeric alc. intermediates are separated, and the (4S)-stereoisomer is oxidized to give (S)-I. For example, BH3.SMe2 in THF was added to a THF solution of (1S,2R)-(+)-erythro-2-amino-1,2-diphenylethanol to give an asym. reducing agent. Then, 5.0 g (±)-I was added, and the mixture was stirred and worked up, to give 5.01 g mixture of cis- and trans-II, which was separated by chromatog. Oxidation of 160 mg cis-II with pyridinium chlorochromate (PCC) in CH2Cl2 gave 118 mg (S)-I with ≥ 95% enantiomeric excess (ee). Alternatively, reduction of (±)-I with either of 2 other asym. reagents gave III, the trans **isomer** of which gave (S)-I with 56% and 47% ee. Oxidation of the unused **isomers** of II and III with PCC gave (R)-I, which was racemized by bases such as KOBu-tert in THF to give, e.g., 95% (±)-I.

ACCESSION NUMBER: 1995:761816 CAPLUS
DOCUMENT NUMBER: 123:169379
TITLE: *Process for preparing a chiral tetralone, useful as an intermediate for* **sertraline**
INVENTOR(S): Quallich, George J.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

L4 ANSWER 25 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

WO 9515299	A1	19950608	WO 1994-IB263	19940902
W: CA, FI, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2176500	AA	19950608	CA 1994-2176500	19940902
CA 2176500	C	19990928		
EP 724552	A1	19960807	EP 1994-924378	19940902
EP 724552	B1	19971029		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 09500390	T2	19970114	JP 1994-512276	19940902
AT 159706	E	19971115	AT 1994-924378	19940902
ES 2108484	T3	19971216	ES 1994-924378	19940902
FI 9602250	A	19960529	FI 1996-2250	19960529
US 5750794	A	19980512	US 1996-652485	19960529
PRIORITY APPLN. INFO.:			US 1993-159156	A 19931130
			WO 1994-IB263	W 19940902

OTHER SOURCE(S): CASREACT 123:169379; MARPAT 123:169379

L4 ANSWER 26 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN

AB The process for converting the title compound (trans-I) (II) into its cis-**isomer**, comprises reacting II or its mixed **isomers** with a basic equilibration agent in a reaction-inert polar organic solvent system at 55-125° until the amount of desired cis **isomer** in the resultant cis/trans-mixture achieves a constant value of 2:1 on a weight/weight basis. The cis **isomer** is an intermediate to the antidepressant cis-(1S)(4S)-I (**sertraline**). A mixture containing Me3COH, Me3COK, and racemic II in THF was refluxed for 48 h, the solvent was removed under reduced pressure, and the residues taken up in CH2Cl2 to give a residual oil (2:1 racemic cis- and trans-amines) which was treated with anhydrous HCl to give racemic cis-I-HCl. trans-(1S)(4R)-I was reacted as above to give a 2:1 mixture of **sertraline** and (1S)(4R)-II.

ACCESSION NUMBER: 1992:193943 CAPLUS
DOCUMENT NUMBER: 116:193943
TITLE: Process for converting trans-N-methyl-4-(3,4-dichlorophenyl)-1,2,3,4-tetrahydro-1-naphthaleneamine to its cis **isomer**
INVENTOR(S): Braish, Tamim F.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5082970	A	19920121	US 1991-665506	19910306
CA 2105393	AA	19920907	CA 1992-2105393	19920207
CA 2105393	C	19960102		
WO 9215552	A1	19920917	WO 1992-US759	19920207
W: AU, BR, CA, CS, DE, FI, HU, JP, KR, NO, PL, RU				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
AU 9216445	A1	19921006	AU 1992-16445	19920207
AU 647620	B2	19940324		
EP 575507	A1	19931229	EP 1992-908491	19920207
EP 575507	B1	19960131		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 06505492	T2	19940623	JP 1992-508122	19920207
JP 2736167	B2	19980402		
BR 9205727	A	19941227	BR 1992-5727	19920207
HU 67707	A2	19950428	HU 1993-2515	19920207
HU 217064	B	19991129		
PL 166756	B1	19950630	PL 1992-300586	19920207
AT 133655	E	19960215	AT 1992-908491	19920207
ES 2083741	T3	19960416	ES 1992-908491	19920207
RU 2079483	C1	19970520	RU 1993-56160	19920207
CZ 285170	B6	19990616	CZ 1992-3956	19920207
IL 101082	A1	19960131	IL 1992-101082	19920227
CN 1064480	A	19920916	CN 1992-101374	19920305
CN 1040641	B	19981111		
ZA 9201641	A	19930906	ZA 1992-1641	19920305
NO 9303141	A	19930903	NO 1993-3141	19930903
NO 179609	B	19960805		

L4 ANSWER 26 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

NO 179609	C	19961113		
PRIORITY APPLN. INFO.:			US 1991-665506	19910306
			WO 1992-US759	19920207

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	77.54	78.17

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-18.20	-18.20

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